STIC Search Report
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STIC Database Tracking Number: 150629

TO: Rei-Tsang Shiao **Location: 5a10 / 5c18** **Tuesday, April 19, 2005** **Art Unit: 1626** **Phone: 571-272-0707** **Serial Number: 10 / 658241**	**From: Jan Delaval** **Location: Biotech-Chem Library** **Remsen 1a51** **Phone: 571-272-22504** **jan.delaval@uspto.gov**

Search Notes

Jan Delavel for search:

Access DB# 150698

SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: Robert (Bob) Shiu Examiner #: 79521 Date: 4/13/05

Art Unit: 1626 Phone Number 30 2-0707 Serial Number: 10/658,241

Mail Box and Bldg/Room Location: SA1 5C18 Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need.

****

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: Synthesis of dimeric, trimeric

Inventors (please provide full names): Kozikowski et al

Earliest Priority Filing Date:

For Sequence Searches Only Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

I. Search a process of making benzyl-protected (4β, 8-oligomer of epicatechin or catechin by:

(a) 5,7,3',4'-tetra-O-benzyl-protect-epicatechin monomer or oligomer + 3-O-acetyl-4-[(2-benzothiazolyl)-thio]-5,7,3',4'-tetra-O-benzyl-epicatechin —catalyst (i.e. AgBF4)→ (see claim 15)

OR (b) 3-O-acetyl-5,7,3',4'-tetra-O-benzyl epicatechin monomer or oligomer + 3-O-acetyl-4-[(2-benzothiazolyl)thio]-5,7,3',4'-tetra-O-benzyl-epicatechin —catalyst (i.e. AgBF4)→ (see claim 16)

STAFF USE ONLY

Searcher: [signature]

Searcher Phone #: 22504

Searcher Location:

Date Searcher Picked Up: 4/19/05

Date Completed: 4/19/05

Searcher Prep & Review Time:

Clerical Prep Time: 20

Online Time: 1 50

Type of Search — NA Sequence (#): AA Sequence (#): Structure (#): ✓ Bibliography: Litigation: Fulltext: Patent Family: Other:

Vendors and cost where applicable — STN ✓ Dialog: QUESTEL/ORBIT: Dr Link: LexisNexis: Sequence Systems: WWWebster: Other (specify):

PTO-1590 (8-01)

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=> fil reg
FILE 'REGISTRY' ENTERED AT 11:11:06 ON 19 APR 2005
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STRUCTURE FILE UPDATES:   18 APR 2005  HIGHEST RN 848724-42-5
DICTIONARY FILE UPDATES:  18 APR 2005  HIGHEST RN 848724-42-5

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TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

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**********************************************************************
*                                                                    *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,   *
* effective March 20, 2005.  A new display format, IDERL, is now    *
* available and contains the CA role and document type information. *
*                                                                    *
**********************************************************************

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=> d sta que l69
L67             STR
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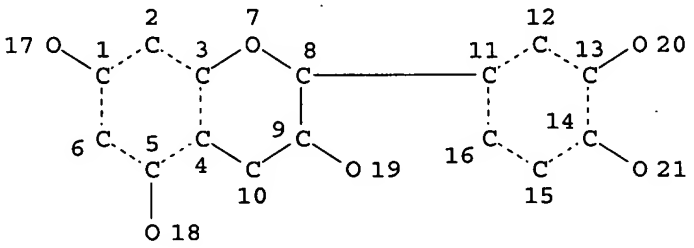


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NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC  11   8
NUMBER OF NODES IS  21

STEREO ATTRIBUTES: NONE
L69        4126 SEA FILE=REGISTRY SSS FUL L67

100.0% PROCESSED   8915 ITERATIONS                    4126 ANSWERS
SEARCH TIME: 00.00.01
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=> d sta que l76
L74             STR
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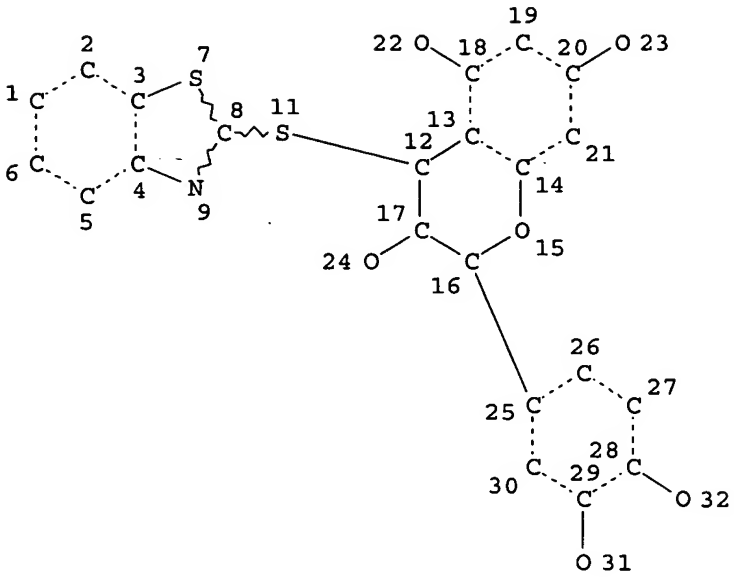

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DEFAULT ECLEVEL IS LIMITED

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NUMBER OF NODES IS  31

STEREO ATTRIBUTES: NONE
L76          14 SEA FILE=REGISTRY SSS FUL L74

100.0% PROCESSED     17 ITERATIONS                          14 ANSWERS
SEARCH TIME: 00.00.01


=> d his

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               SET COST OFF

     FILE 'HCAPLUS' ENTERED AT 10:24:09 ON 19 APR 2005
L1             2 S (US20040116718 OR US20050020512)/PN OR (US2003-658241# OR US2
               E KOZIKOWSKI A/AU
L2           451 S E3-E5,E7-E9
               E TUCKMANTEL W/AU
L3            27 S E3,E4
               E ROMANCZYK L/AU
L4            30 S E4-E7
               E MARS/PA,CS
L5           402 S E3-E107
L6            25 S L2-L5 AND ?EPICATECHIN?
L7            26 S L2-L5 AND ?CATECHIN?
L8            26 S L6,L7

     FILE 'REGISTRY' ENTERED AT 10:29:13 ON 19 APR 2005
L9             2 S 490-46-0 OR 154-23-4
               E C15H14O6/MF
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L10          73 S E3 AND 46.150.18/RID AND OC5-C6/ES AND 3/NR
L11          26 S L10 AND 3 5 7
L12          26 S L11 AND 3 4
L13          11 S L12 NOT ((D OR T)/ELS OR 11C# OR 13C# OR 14C# OR C11# OR C13#
L14           7 S L13 AND 2 3 4
L15           7 S L9,L14
                 SEL RN
L16         105 S E1-E7/CRN
L17          21 S L16 AND IDS/CI
L18          84 S L16 NOT L17
L19          35 S L18 AND PMS/CI
                 SEL RN 1-5 7 8 16-18 21 24-27 29 31 32 35
L20          16 S L19 NOT E8-E26
L21          49 S L18 NOT L19
L22           7 S L21 AND MXS/CI
L23          42 S L21 NOT L22
L24          24 S L23 AND (COMPD OR WITH OR UNSPECIFIED)
L25          18 S L23 NOT L24

     FILE 'HCAPLUS' ENTERED AT 10:40:02 ON 19 APR 2005
L26        7233 S L15 OR L20 OR L25
L27          21 S L2-L5 AND L26
L28          26 S L8,L27
L29           5 S L28 AND (4B OR 4BETA OR 4 BETA)()8
L30           0 S L28 AND 4B8
L31           0 S L28 AND 4BETA8
L32           0 S L28 AND 4 BETA8
L33           5 S L1,L29
L34          21 S L28 NOT L33
L35          16 S L28 AND ?OLIGO?
L36          10 S L28 AND ?DIMER?
L37          18 S L33,L35,L36
L38        1230 S (AG OR SILVER)()(TETRAFLUOROBORATE OR TETRAFLUORO BORATE OR T
L39        1588 S AGBF4

     FILE 'REGISTRY' ENTERED AT 10:44:53 ON 19 APR 2005
L40           1 S 14104-20-2
L41        1149 S 14874-70-5/CRN AND AG/ELS
L42           3 S L41 AND 3/ELC.SUB

     FILE 'HCAPLUS' ENTERED AT 10:46:07 ON 19 APR 2005
L43         995 S L42,L40
L44        1296 S (AG OR SILVER)(1W)(TETRAFLUOROBORATE OR TETRAFLUORO BORATE OR
L45        2563 S L38,L39,L43,L44
L46           3 S L45 AND L37
L47          15 S L37 NOT L46
                 SEL RN L46

     FILE 'REGISTRY' ENTERED AT 10:48:37 ON 19 APR 2005
L48          95 S E27-E121
L49          18 S L48 AND NCSC2-C6/ES
L50           4 S L49 AND C52H43NO7S2
L51           3 S L50 NOT 830331-85-6
L52          14 S L49 NOT L50
                 SEL RN 8 9 14
L53          11 S L52 NOT E122-E124
L54          14 S L51,L53
L55          77 S L48 NOT L49-L54
L56          54 S L55 AND OC5-C6/ES
L57          23 S L55 NOT L56
L58          14 S L57 AND MAN/CI
L59          13 S L58 NOT MONTMOR?
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     FILE 'HCAPLUS' ENTERED AT 10:59:14 ON 19 APR 2005
L60         4107 S L59 OR L56
L61         7454 S L26 OR L60
L62        11093 S ?CATECHIN?
L63        12252 S L61,L62
L64            3 S L63 AND L54
L65            5 S L63 AND L45
L66            5 S L64,L65

     FILE 'REGISTRY' ENTERED AT 11:00:52 ON 19 APR 2005
L67              STR
L68           50 S L67
L69         4126 S L67 FUL
                 SAV L69 SHIAO658/A

     FILE 'HCAPLUS' ENTERED AT 11:02:46 ON 19 APR 2005
L70        12252 S L6 OR L63
L71            3 S L70 AND L54
L72            5 S L70 AND L45
L73            5 S L71,L72,L66

     FILE 'REGISTRY' ENTERED AT 11:03:30 ON 19 APR 2005
L74              STR
L75            1 S L74
L76           14 S L74 FUL
                 SAV L76 SHIAO658A/A
L77           14 S L76 OR L54

     FILE 'HCAPLUS' ENTERED AT 11:07:53 ON 19 APR 2005
L78            5 S L73 AND L1-L8,L26-L39,L43-L47,L60-L66,L70-L73,L77
L79            5 S L78 AND (?OLIGO? OR ?DIMER? OR ?TRIMER? OR ?TETRAMER? OR ?PEN
L80            3 S L79 AND 4 BETA 8
L81            1 S L79 AND 4 ALPHA 8
L82            4 S L79 AND 4 BETA
L83            5 S L79 AND ?PROCYANIDIN?
L84            4 S L79 AND INTERFLAVAN?
L85            5 S L78-L84
L86            3 S L85 AND (PY<=2002 OR PRY<=2002 OR AY<=2002)
L87            2 S L85 NOT L86
L88            5 S L86,L87

     FILE 'REGISTRY' ENTERED AT 11:11:06 ON 19 APR 2005

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FILE LAST UPDATED: 18 Apr 2005  (20050418/ED)
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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d l88 all fhitstr tot

L88 ANSWER 1 OF 5 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 2005:78211 HCAPLUS
DN 142:155725
ED Entered STN: 28 Jan 2005
TI Synthesis of **oligomeric epicatechin** and **catechin**-derived **procyanidins** as anticancer agents
IN **Kozikowski, Allan P.**; **Tuckmantel, Werner**; **Romanczyk, Leo J.**; Ma, Xingquan
PA USA
SO U.S. Pat. Appl. Publ., 17 pp., Cont.-in-part of U.S. Provisional Ser. No. 415,616.
CODEN: USXXCO
DT Patent
LA English
IC ICM A61K031-7048
ICS A61K031-353
NCL 514027000; 514456000; 536008000; 549403000
CC 26-4 (Biomolecules and Their Synthetic Analogs)
Section cross-reference(s): 1, 63
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2005020512	A1	20050127	US 2004-481729	20040915 <--
	WO 2004030440	A2	20040415	WO 2003-US31375	20031002 <--
	WO 2004030440	A3	20040610		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI	US 2002-415616P	P	20021002	<--
	WO 2003-US31375	W	20031002	<--
	US 2003-658241	A2	20030909	<--

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 2005020512	ICM	A61K031-7048
	ICS	A61K031-353
	NCL	514027000; 514456000; 536008000; 549403000

AB Various processes are disclosed for preparing **procyanidin oligomers** having (4,8)-**interflavan** linkages. In an improved process, a tetra-O-protected-**epicatechin** or **catechin** monomer or **oligomer** is coupled with a protected, C-4 alkoxy-activated-**epicatechin** or -**catechin** monomer in the presence of an acidic clay instead of a Lewis acid. In a second process, a 5,7,3',4'-tetra-O-protected or preferably penta-O-protected-**epicatechin** or -**catechin** monomer or **oligomer** is reacted with a tetra-O-protected or preferably penta-O-protected-**epicatechin** or -**catechin** monomer having a thio activating group at the C-4 position; the coupling is carried out in the presence of **silver tetrafluoroborate**

. In third process, two mols. of a penta-O-protected-**epicatechin** or -**catechin** monomer activated with a 2-(benzothiazolyl)thio group at the C-4 position are self-condensed in the presence of **silver tetrafluoroborate.** An improved two-step process for preparing a C-4 alkoxy activated tetra-O-benzyl-protected, 8-bromo-blocked-**epicatechin** or -**catechin** monomer is also provided. The use of naturally-derived and synthetically-prepared **procyanidin** (4β ,8)4-**pentamers** to treat cancer is also disclosed.

ST **epicatechin oligomer procyanidin** prepn anticancer; **catechin oligomer procyanidin** prepn anticancer; coupling acidic clay promoted coupling **epicatechin oligomer** prepn

IT Condensation reaction
(autocondensation; synthesis of **oligomeric epicatechin** and **catechin**-derived **procyanidins** via self-condensation)

IT Clays, uses
RL: CAT (Catalyst use); USES (Uses)
(bentonitic; synthesis of **oligomeric epicatechin** and **catechin**-derived **procyanidins** via acidic clay promoted coupling)

IT Antitumor agents
Human
Mammary gland, neoplasm
Neoplasm
(synthesis of **oligomeric epicatechin** and **catechin**-derived **procyanidins** as anticancer agents)

IT Flavanols
Procyanidins
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis of **oligomeric epicatechin** and **catechin**-derived **procyanidins** as anticancer agents)

IT Coupling reaction
(synthesis of **oligomeric epicatechin** and **catechin**-derived **procyanidins** via acidic clay promoted coupling)

IT 1318-93-0, K-10 (Mineral), uses
RL: CAT (Catalyst use); USES (Uses)
(synthesis of **oligomeric epicatechin** and **catechin**-derived **procyanidins** as anticancer agents)

IT **37064-30-5P 79907-44-1P 86631-38-1P 86631-39-2P 88847-05-6P 134054-57-2P 178458-88-3P 197975-71-6P 220089-13-4P 220089-14-5P 680593-76-4P 680593-81-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis of **oligomeric epicatechin** and **catechin**-derived **procyanidins** as anticancer agents)

IT **87292-49-7 679797-90-1 679797-98-9 679798-00-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis of **oligomeric epicatechin** and **catechin**-derived **procyanidins** as anticancer agents)

IT 149-30-4P, 2-Mercaptobenzothiazole **223387-28-8P 223387-30-2P 256236-25-6P 477565-85-8P 477565-87-0P 477565-89-2P 477565-90-5P 477565-94-9P 477565-95-0P 477565-96-1P 477566-06-6P 477566-11-3P 479617-14-6P 479617-46-4P 479617-48-6P 479617-51-1P**

479617-55-5P 479617-59-9P 479617-64-6P
479617-66-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of **oligomeric epicatechin** and **catechin**-derived **procyanidins** as anticancer agents)

IT 75-24-1, Trimethylaluminum
RL: RGT (Reagent); RACT (Reactant or reagent)
(synthesis of **oligomeric epicatechin** and **catechin**-derived **procyanidins** as anticancer agents)

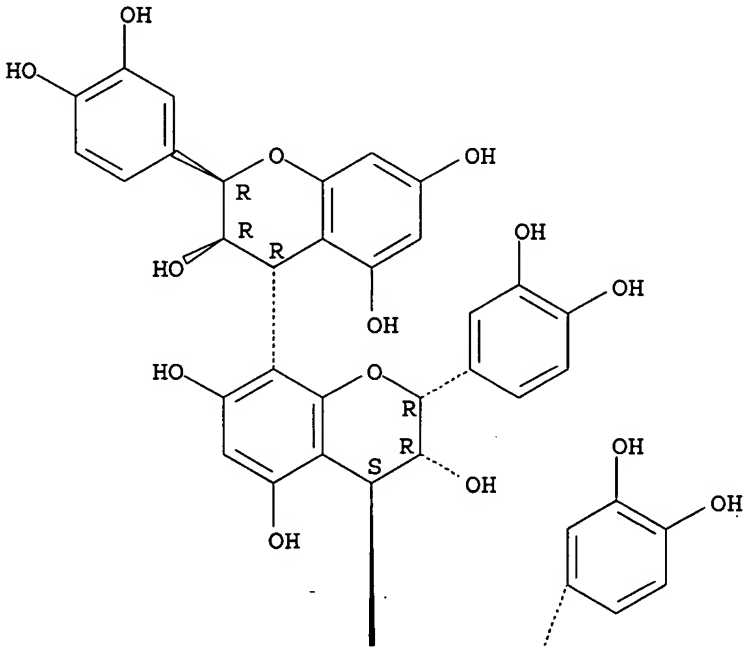
IT **477565-91-6P 477565-93-8P 477566-00-0P**
477566-01-1P 477566-02-2P 477566-04-4P
477566-07-7P 477566-08-8P 477566-09-9P
477566-10-2P 479617-57-7P 479617-69-1P
830331-85-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of **oligomeric epicatechin** and **catechin**-derived **procyanidins** as anticancer agents)

IT **37064-30-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis of **oligomeric epicatechin** and **catechin**-derived **procyanidins** as anticancer agents)

RN 37064-30-5 HCAPLUS
CN [4,8':4',8''-Ter-2H-1-benzopyran]-3,3',3'',5,5',5'',7,7',7''-nonol, 2,2',2''-tris(3,4-dihydroxyphenyl)-3,3',3'',4,4',4''-hexahydro-, (2R,2'R,2''R,3R,3'R,3''R,4R,4'S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

PAGE 1-A



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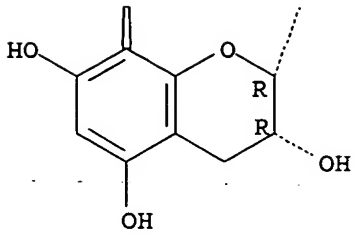
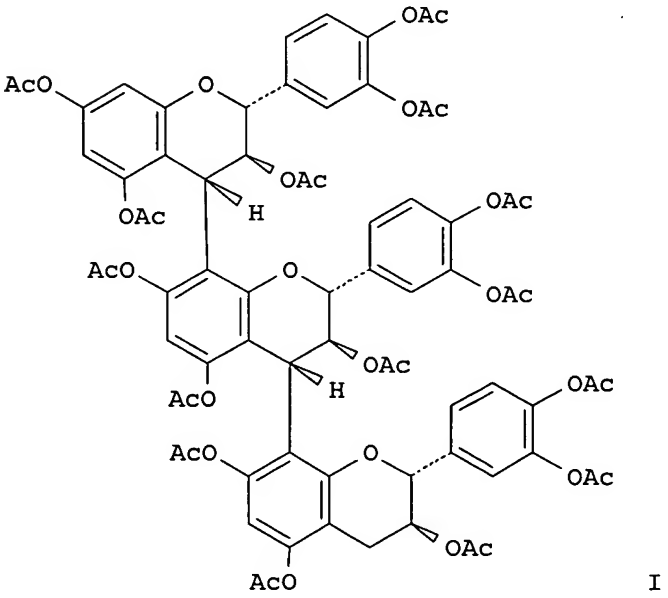


L88 ANSWER 2 OF 5 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:713707 HCAPLUS
DN 141:349945
ED Entered STN: 01 Sep 2004
TI **Oligomeric catechins**: An enabling synthetic strategy by orthogonal activation and C(8) protection
AU Ohmori, Ken; Ushimaru, Naoko; Suzuki, Keisuke
CS Department of Chemistry, Tokyo Institute of Technology, Tokyo, 152-8551, Japan
SO Proceedings of the National Academy of Sciences of the United States of America (2004), 101(33), 12002-12007
CODEN: PNASA6; ISSN: 0027-8424
PB National Academy of Sciences
DT Journal
LA English
CC 26-4 (Biomolecules and Their Synthetic Analogs)
GI



I

AB Controlled formation of **oligomeric catechins**, e.g., I, has become possible by an orthogonal synthetic strategy. Bromo-capping of the C(8) position of the flavan skeleton enabled the equimolar coupling of electrophilic and nucleophilic **catechin** derivs., enabling an efficient synthetic strategy to complex **catechin oligomers**.

ST **catechin oligomeric** prepn orthogonal activation bromo

capping; stereoselective substitution flavan skeleton
IT Stereoselective synthesis
(of **oligomeric catechins** via orthogonal activation and C(8) protection)
IT Flavanols
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(**oligomeric**; preparation of **oligomeric catechins** via orthogonal activation and C(8) protection)
IT **Procyanidins**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of **oligomeric catechins** via orthogonal activation and C(8) protection)
IT Coupling reaction
(stereoselective; between **catechin** monomers in preparation of **oligomeric catechins** via orthogonal activation and C(8) protection)
IT 89385-59-1P 777063-21-5P
RL: BYP (Byproduct); PREP (Preparation)
(preparation of **oligomeric catechins** via orthogonal activation and C(8) protection)
IT 12135-22-7, Palladium dihydroxide
RL: CAT (Catalyst use); USES (Uses)
(preparation of **oligomeric catechins** via orthogonal activation and C(8) protection)
IT 777063-23-7P
RL: PNU (Preparation, unclassified); PREP (Preparation)
(preparation of **oligomeric catechins** via orthogonal activation and C(8) protection)
IT 108-24-7, Acetic anhydride 108-98-5, Thiophenol, reactions 85443-49-8 478241-14-4 478241-31-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of **oligomeric catechins** via orthogonal activation and C(8) protection)
IT 777063-24-8P 777063-25-9P 777063-27-1P 777063-28-2P 777063-29-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of **oligomeric catechins** via orthogonal activation and C(8) protection)
IT 109-63-7, Boron trifluoride etherate 516-12-1, N-Iodosuccinimide **14104-20-2**
RL: RGT (Reagent); RACT (Reactant or reagent)
(preparation of **oligomeric catechins** via orthogonal activation and C(8) protection)
IT 16198-01-9P 21179-22-6P 78392-24-2P 777063-19-1P 777063-20-4P 777063-22-6P 777063-26-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of **oligomeric catechins** via orthogonal activation and C(8) protection)
RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
(1) Balas, L; Magn Reson Chem 1994, V32, P386 HCAPLUS
(2) Balas, L; Magn Reson Chem 1995, V33, P85 HCAPLUS
(3) Bohm, B; Introduction to Flavonoids 1998
(4) de Bruyne, T; J Nat Prod 1999, V62, P954 HCAPLUS
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(7) Foo, L; Phytochemistry 1982, V21, P1741 HCAPLUS
(8) Hagerman, A; J Agric Food Chem 1998, V46, P2590 HCAPLUS
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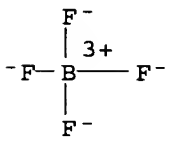
IT **14104-20-2**
RL: RGT (Reagent); RACT (Reactant or reagent)
(preparation of **oligomeric catechins** via orthogonal activation and C(8) protection)

RN 14104-20-2 HCAPLUS
CN Borate(1-), tetrafluoro-, silver(1+) (8CI, 9CI) (CA INDEX NAME)



● Ag(I) +

L88 ANSWER 3 OF 5 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:306371 HCAPLUS
DN 140:339115
ED Entered STN: 15 Apr 2004
TI Process for preparing **oligomeric epicatechin** and **catechin**-derived **procyanidins** for use as anticancer agents
IN **Kozikowski, Alan P.**; **Tuckmantel, Werner**; **Romanczyk, Leo J., Jr.**; Ma, Xiangquan
PA **Mars, Incorporated, USA**
SO PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C07D301-00
CC 26-4 (Biomolecules and Their Synthetic Analogs)
Section cross-reference(s): 1, 63

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004030440	A2	20040415	WO 2003-US31375	20031002 <--
	WO 2004030440	A3	20040610		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,

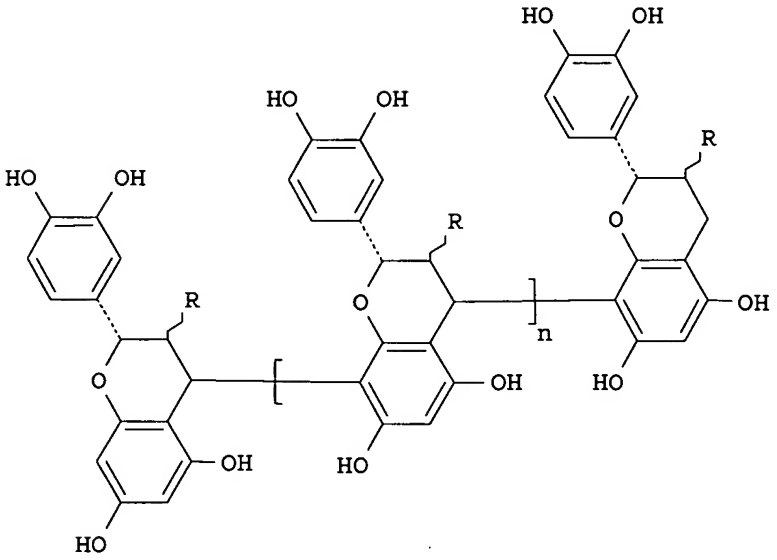
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,
TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2004116718 A1 20040617 US 2003-658241 20030909 <--
US 2005020512 A1 20050127 US 2004-961729 20040915 <--
PRAI US 2002-415616P P 20021002 <--
US 2003-658241 A2 20030909 <--
WO 2003-US31375 W 20031002 <--

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2004030440	ICM	C07D301-00

GI



I

AB Various processes are disclosed for preparing **procyanidin oligomers**, such as I [R = α-OH, β-OH; n = 2-9], having (4,8)**interflavan** linkages. In an improved process, a tetra-O-protected-**epicatechin** or -**catechin** monomer or **oligomer** is coupled with a protected, C-4 alkoxy-activated-**epicatechin** or -**catechin** monomer in the presence of an acidic clay instead of a Lewis acid. In a second process, a 5,7,3',4'-tetra-O-protected or preferably penta-O-protected-**epicatechin** or -**catechin** monomer or **oligomer** is reacted with a tetra-O-protected or preferably penta-O-protected-**epicatechin** or -**catechin** monomer having a thio activating group at the C-4 position; the coupling is carried out in the presence of **silver tetrafluoroborate.** In third process, two mols. of a penta-O-protected-**epicatechin** or -**catechin** monomer activated with a 2(benzothiazolyl)thio group at the C-4 position are self-condensed in the presence of **silver tetrafluoroborate.** An improved two-step process for preparing a C-4 alkoxy activated tetra-O-benzyl-protected, 8-bromo-blocked-**epicatechin** or -**catechin** monomer is also provided. The

use of naturally-derived and synthetically-prepared **procyanidin** (4β ,8)4-**pentamers**, such as I (R = α-OH, n = 3), to treat cancer is also disclosed.

ST **procyanidin oligomer** prepn anticancer; breast cancer inhibitor **procyanidin pentamer** prepn; coupling reaction flavanol **procyanidin oligomer** prepn clay

IT Clays, uses
RL: CAT (Catalyst use); USES (Uses)
(acidic; for condensation between protected-**epicatechin** or **catechin** monomer and protected-4-alkoxy-**epicatechin** or **catechin** monomer in preparation of **oligomeric epicatechin** and **catechin**-derived **procyanidins** for use as anticancer agents)

IT Clays, uses
RL: CAT (Catalyst use); USES (Uses)
(bentonitic, K-10; in preparation of **oligomeric epicatechin** and **catechin**-derived **procyanidins** for use as anticancer agents)

IT Coupling reaction
(between protected-**epicatechin** or **catechin** monomer and protected-4-alkoxy-**epicatechin** or **catechin** monomer in preparation of **oligomeric epicatechin** and **catechin**-derived **procyanidins** for use as anticancer agents)

IT Reversed phase HPLC
(for isolating **oligomeric epicatechin** and **catechin**-derived **procyanidins**)

IT Liquid chromatography
(for separating the protected monomer(s) and protected **dimer** or higher **oligomer** during preparation of **oligomeric epicatechin** and **catechin**-derived **procyanidins** for use as anticancer agents)

IT Clays, uses
RL: CAT (Catalyst use); USES (Uses)
(montmorillonitic; preparation of **oligomeric epicatechin** and **catechin**-derived **procyanidins** for use as anticancer agents)

IT Asymmetric synthesis and induction
Cytotoxicity
(of **oligomeric epicatechin** and **catechin** -derived **procyanidins** for use as anticancer agents)

IT Antitumor agents
Condensation reaction
Deacetylation
Debenzylation
Human
(preparation of **oligomeric epicatechin** and **catechin**-derived **procyanidins** for use as anticancer agents)

IT **Procyanidins**
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of **oligomeric epicatechin** and **catechin**-derived **procyanidins** for use as anticancer agents)

IT Thiols (organic), reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(salts, organoaluminum; preparation of **oligomeric epicatechin** and **catechin**-derived **procyanidins** for use as anticancer agents)

IT Salts, reactions

RL: RCT (Reactant); RACT (Reactant or reagent)
(thiol, organoaluminum; preparation of **oligomeric epicatechin** and **catechin**-derived **procyanidins** for use as anticancer agents)

IT Mammary gland, neoplasm
(treatment; preparation of **oligomeric epicatechin** and **catechin**-derived **procyanidins** for use as anticancer agents)

IT **134054-57-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(**Catechin**-(4α ,8)-
catechin digallate; preparation of **oligomeric epicatechin** and **catechin**-derived **procyanidins** for use as anticancer agents)

IT **220089-14-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(**Catechin**-(4α ,8)-
epicatechin digallate; preparation of **oligomeric epicatechin** and **catechin**-derived **procyanidins** for use as anticancer agents)

IT **680593-76-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(**Catechin**-(4β ,8)-
catechin digallate; preparation of **oligomeric epicatechin** and **catechin**-derived **procyanidins** for use as anticancer agents)

IT **680593-81-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(**Catechin**-(4β ,8)-
epicatechin digallate; preparation of **oligomeric epicatechin** and **catechin**-derived **procyanidins** for use as anticancer agents)

IT **220089-13-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(**Epicatechin**-(4β ,8)-
catechin digallate; preparation of **oligomeric epicatechin** and **catechin**-derived **procyanidins** for use as anticancer agents)

IT **79907-44-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(**Epicatechin**-(4β ,8)-
epicatechin digallate; preparation of **oligomeric epicatechin** and **catechin**-derived **procyanidins** for use as anticancer agents)

IT 12135-22-7, Pearlman's catalyst
RL: CAT (Catalyst use); USES (Uses)
(for deprotection of benzyl groups in preparation of **oligomeric epicatechin** and **catechin**-derived **procyanidins** for use as anticancer agents)

IT **14104-20-2, Silver tetrafluoroborate**
RL: CAT (Catalyst use); USES (Uses)

(preparation of **oligomeric epicatechin** and **catechin**-derived **procyanidins** for use as anticancer agents)

IT **20315-25-7P 23567-23-9P 29106-49-8P**
29106-51-2P 51196-37-3P 51196-38-4P
86631-39-2P 679797-93-4P 679797-94-5P
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of **oligomeric epicatechin** and **catechin**-derived **procyanidins** for use as anticancer agents)

IT **137624-12-5P 223387-28-8P 223387-30-2P**
256236-25-6P 477565-85-8P 477565-87-0P
477565-90-5P 477565-94-9P 477565-95-0P
477565-96-1P 477566-06-6P 477566-10-2P
479617-14-6P 479617-46-4P 479617-48-6P
479617-51-1P 479617-55-5P 479617-59-9P
479617-64-6P 479617-66-8P 479617-69-1P
664351-43-3P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of **oligomeric epicatechin** and **catechin**-derived **procyanidins** for use as anticancer agents)

IT **88847-05-6P 137550-06-2P 178458-88-3P**
197975-71-6P 477565-91-6P 477565-93-8P
477566-00-0P 477566-03-3P 477566-04-4P **477566-07-7P**
477566-08-8P 477566-09-9P 477566-11-3P
679797-92-3P 679797-95-6P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of **oligomeric epicatechin** and **catechin**-derived **procyanidins** for use as anticancer agents)

IT 75-24-1, Trimethylaluminum 107-21-1, Ethylene glycol, reactions 108-24-7, Acetic anhydride 149-30-4, 2-Mercaptobenzothiazole 149-91-7, Gallic acid, reactions **20728-73-8 87292-49-7**
301539-02-6 477565-89-2 679797-90-1
679797-96-7 679797-97-8 679797-98-9
679797-99-0 679798-00-6 680186-62-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of **oligomeric epicatechin** and **catechin**-derived **procyanidins** for use as anticancer agents)

IT 128-08-5, N-Bromosuccinimide
RL: RGT (Reagent); RACT (Reactant or reagent)
(preparation of **oligomeric epicatechin** and **catechin**-derived **procyanidins** for use as anticancer agents)

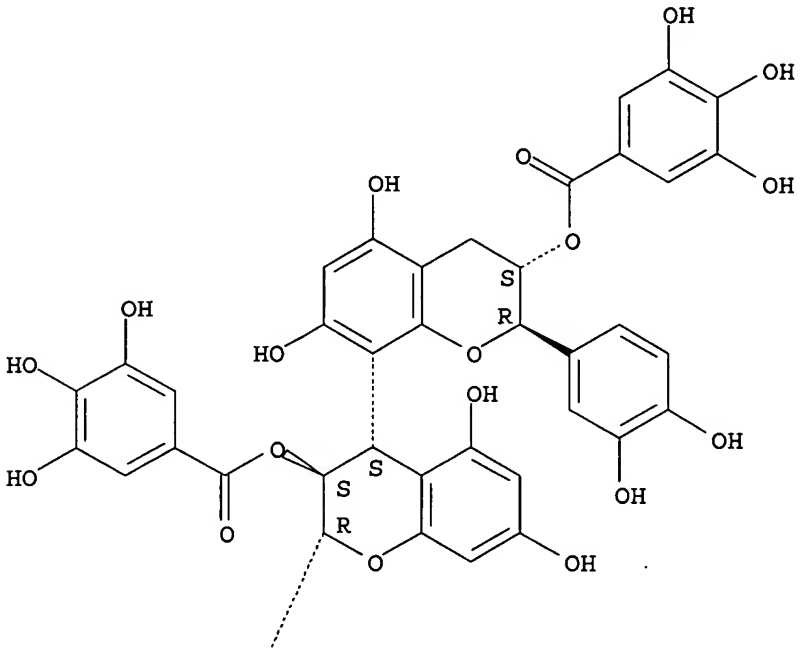
IT 128-08-5, N-Bromosuccinimide
RL: RGT (Reagent); RACT (Reactant or reagent)
(preparation of **oligomeric epicatechin** and **catechin**-derived **procyanidins** for use as anticancer agents)

IT **134054-57-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(**Catechin**-(4α ,8)-**catechin** digallate; preparation of **oligomeric epicatechin** and **catechin**-derived **procyanidins** for use as anticancer agents)

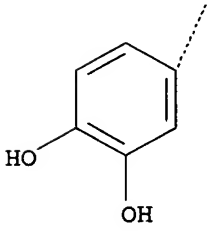
RN 134054-57-2 HCAPLUS
CN Benzoic acid, 3,4,5-trihydroxy-, (2R,2'R,3S,3'S,4S)-2,2'-bis(3,4-dihydroxyphenyl)-3,3',4,4'-tetrahydro-5,5',7,7'-tetrahydroxy[4,8'-bi-2H-1-benzopyran]-3,3'-diyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

PAGE 1-A



PAGE 2-A



L88 ANSWER 4 OF 5 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 2002:789697 HCAPLUS
DN 138:122474
ED Entered STN: 17 Oct 2002
TI Studies in Polyphenol Chemistry and Bioactivity. 4. Synthesis of **Trimeric, Tetrameric, Pentameric**, and Higher **Oligomeric Epicatechin**-Derived **Procyanidins** Having All-4β ,8-**Interflavan** Connectivity and Their Inhibition of Cancer Cell Growth through Cell Cycle Arrest
AU **Kozikowski, Alan P.**; Tueckmantel, Werner; Boettcher, Gesine; **Romanczyk, Leo J., Jr.**
CS Department of Neurology, Drug Discovery Laboratory, and Lombardi Cancer Center, Georgetown University Medical Center, Washington, DC, 20007, USA

SO Journal of Organic Chemistry (2003), 68(5), 1641-1658
CODEN: JOCEAH; ISSN: 0022-3263
PB American Chemical Society
DT Journal
LA English
CC 26-4 (Biomolecules and Their Synthetic Analogs)
Section cross-reference(s): 1
OS CASREACT 138:122474

AB We report an improved synthesis of bis(5,7,3',4'-tetra-O-benzyl) **epicatechin 4β ,8-dimer** from 5,7,3',4'-tetra-O-**benzylepicatechin** and 5,7,3',4'-tetra-O-benzyl-4-(2-hydroxyethoxy)**epicatechin** (I) by replacing the previously employed Lewis acid, titanium tetrachloride, with the clay mineral Bentonite K-10. Under the same conditions, the benzyl-protected all-**4β ,8-trimer**, -**tetramer**, and -**pentamer** were obtained regioselectively from their lower homologues, albeit in rapidly decreasing yields. Reaction of I with an organoaluminum thiolate generated from 2-mercaptobenzothiazole and trimethylaluminum followed by acetylation produced 3-O-acetyl-4-[(2-benzothiazolyl)thio]-5,7,3',4'-tetra-O-**benzylepicatechin** (II). Medium-sized protected **oligomers** with **4β ,8-interflavan** linkages are obtained in improved yields by using this compound as the electrophile and **silver tetrafluoroborate** as activator and are isolated by reversed-phase HPLC. Their deprotection by ester saponification followed by hydrogenolysis yielded the free **procyanidins**, which were characterized as their peracetates. The synthetic **procyanidins** are identical by normal-phase HPLC with fractions isolated from cocoa. The principle of chain extension by two members was demonstrated using a **dimeric** electrophile obtained by self-condensation of II. Both the synthetic and natural **pentamer** inhibit the growth of several breast cancer cell lines. Using the MDA MB 231 line, it was established that this outcome is based on the induction of cell cycle arrest in the G0/G1 phase. Subsequent cell death is more likely necrotic rather than apoptotic. Control expts. demonstrate that the polyphenol itself, rather than hydrogen peroxide potentially formed by its autoxidn., is the causative agent.

ST **epicatechin procyanidin oligomeric** prepn anticancer

IT Mass spectrometry
(HPLC combined with; anal. of **oligomeric epicatechin**-derived **procyanidins** having all-**4β ,8-interflavan** connectivity and their anticancer activity)

IT Bentonite, uses
RL: CAT (Catalyst use); USES (Uses)
(K-10; preparation of **oligomeric epicatechin**-derived **procyanidins** having all-**4β ,8-interflavan** connectivity and their anticancer activity)

IT Condensation reaction
(autocondensation; in preparation of **oligomeric epicatechin**-derived **procyanidins** having all-**4β ,8-interflavan** connectivity and their anticancer activity)

IT Saponification
(ester; in preparation of **oligomeric epicatechin**-derived **procyanidins** having all-**4β ,8-interflavan** connectivity and their anticancer activity)

IT Cytometry
(flow; of MBA MB cells)

IT HPLC
(for separation of **oligomeric epicatechin**-derived **procyanidins** having all-**4β ,8**

-**interflavan** connectivity and their anticancer activity)

IT Hydrogenolysis
(in preparation of **oligomeric epicatechin**-derived **procyanidins** having all-4β ,8 -**interflavan** connectivity and their anticancer activity)

IT Mammary gland, neoplasm
(inhibitor; preparation of **oligomeric epicatechin** -derived **procyanidins** having all-4β , **8-interflavan** connectivity and their anticancer activity)

IT HPLC
(mass spectrometry combined with; anal. of **oligomeric epicatechin**-derived **procyanidins** having all-4 β ,8-**interflavan** connectivity and their anticancer activity)

IT Cytotoxicity
(of **oligomeric epicatechin**-derived **procyanidins** having all-4β ,8 -**interflavan** connectivity)

IT Acetylation
(preparation of **oligomeric epicatechin**-derived **procyanidins** having all-4β ,8 -**interflavan** connectivity and their anticancer activity)

IT **Procyanidins**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of **oligomeric epicatechin**-derived **procyanidins** having all-4β ,8 -**interflavan** connectivity and their anticancer activity)

IT 75-24-1, Trimethyl aluminum 7550-45-0, Titanium tetrachloride, uses **14104-20-2, Silver tetrafluoroborate**
RL: CAT (Catalyst use); USES (Uses)
(preparation of **oligomeric epicatechin**-derived **procyanidins** having all-4β ,8 -**interflavan** connectivity and their anticancer activity)

IT **37064-30-5P 86631-38-1P 86631-39-2P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of **oligomeric epicatechin**-derived **procyanidins** having all-4β ,8 -**interflavan** connectivity and their anticancer activity)

IT 149-30-4, 2(3H)-Benzothiazolethione **490-46-0 37064-35-0 87292-49-7 256236-25-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of **oligomeric epicatechin**-derived **procyanidins** having all-4β ,8 -**interflavan** connectivity and their anticancer activity)

IT **88847-05-6P 101469-10-7P 178458-88-3P 197975-71-6P 223387-28-8P 223387-30-2P 477565-84-7P 477565-85-8P 477565-87-0P 477565-89-2P 477565-90-5P 477565-94-9P 477565-95-0P 477565-96-1P 477565-99-4P 477566-00-0P 479617-14-6P 479617-46-4P 479617-48-6P 479617-51-1P 479617-55-5P 479617-57-7P 479617-58-8P 479617-59-9P 479617-64-6P 479617-66-8P 479617-69-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of **oligomeric epicatechin**-derived **procyanidins** having all-4β ,8 -**interflavan** connectivity and their anticancer activity)

IT **29106-49-8P 82837-96-5P 176703-39-2P**

176779-04-7P 477565-86-9P 477565-88-1P
477565-91-6P 477565-93-8P 477565-97-2P
477565-98-3P 477566-01-1P 477566-02-2P
477566-03-3P 477566-04-4P **477566-06-6P 477566-07-7P**
477566-08-8P 477566-09-9P 477566-10-2P
477566-11-3P 479617-98-6P 479618-00-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of **oligomeric epicatechin**-derived **procyanidins** having all-4β ,8 -**interflavan** connectivity and their anticancer activity)

RE.CNT 90 THERE ARE 90 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

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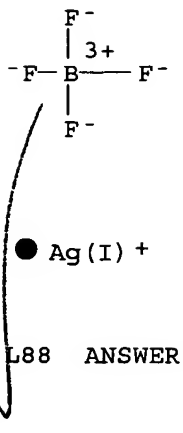
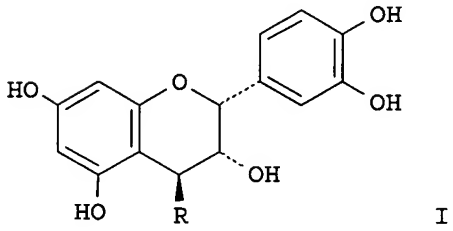
IT **14104-20-2, Silver tetrafluoroborate**
RL: CAT (Catalyst use); USES (Uses)
(preparation of **oligomeric epicatechin**-derived **procyanidins** having all-4β ,8 -**interflavan** connectivity and their anticancer activity)

RN 14104-20-2 HCAPLUS
CN Borate(1-), tetrafluoro-, silver(1+) (8CI, 9CI) (CA INDEX NAME)

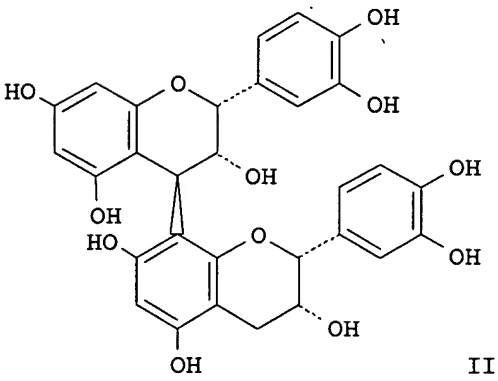


L88 ANSWER 5 OF 5 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1998:417444 HCAPLUS
DN 129:189143
ED Entered STN: 09 Jul 1998
TI **Oligomeric** flavanoids. Part 27. **Interflavanyl** bond formation in **procyanidins** under neutral conditions
AU Steynberg, Petrus J.; Nel, Reinier J. J.; Van Rensburg, Hendrik; Bezuidenhoudt, Barend C. B.; Ferreira, Daneel
CS Dep. Chem., Univ. Orange Free State, Bloemfontein, 9300, S. Afr.
SO Tetrahedron (**1998**), 54(28), 8153-8158
CODEN: TETRAB; ISSN: 0040-4020
PB Elsevier Science Ltd.
DT Journal
LA English
CC 26-4 (Biomolecules and Their Synthetic Analogs)
OS CASREACT 129:189143
GI



I



II

AB Dimethyl(methylthio)sulfonium tetrafluoroborate (DMTSF) and **silver tetrafluoroborate** (**AgBF4**) activate the C4-S bond in the 4-thioethers of flavan-3-ols, e.g. I (R = SCH2Ph), toward carbon nucleophiles, e.g. I [R = H (**epicatechin**)], to permit formation of the **interflavanyl** bond in **procyanidins**, e.g. II (**procyanidin** B-2), under neutral conditions.

ST **procyanidin** prepn; flavanoid **oligomeric** prepn; flavanol thioether **interflavanyl** bond formation; tetrafluoroborate silver dimethylmethylthiosulfonium activation flavanol thioether

IT Bond formation
(C-C; **interflavanyl** bond formation of flavanol thioether under neutral conditions in preparation of **procyanidins**)

IT Flavonoids
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(**interflavanyl** bond formation of flavanol thioether under neutral conditions in preparation of **procyanidins**)

IT **Procyanidins**
RL: SPN (Synthetic preparation); PREP (Preparation)
(**interflavanyl** bond formation of flavanol thioether under neutral conditions in preparation of **procyanidins**)
IT 79813-67-5P
RL: BYP (Byproduct); PREP (Preparation)
(**interflavanyl** bond formation of flavanol thioether under neutral conditions in preparation of **procyanidins**)
IT 100-53-8, Phenylmethanethiol 108-73-6, Phloroglucinol **154-23-4**, **Catechin** 480-18-2, (2R,3R)-Dihydroquercetin **490-46-0**, **Epicatechin** 5799-67-7, Dimethyl(methylthio)sulfonium tetrafluoroborate **14104-20-2**, **Silver tetrafluoroborate**
RL: RCT (Reactant); RACT (Reactant or reagent)
(**interflavanyl** bond formation of flavanol thioether under neutral conditions in preparation of **procyanidins**)
IT **23567-23-9P**, **Procyanidin** B-3 **37064-35-0P**, **4β-(Benzylsulfanyl)epicatechin**
37064-38-3P, **4β-(Benzylsulfanyl)catechin**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(**interflavanyl** bond formation of flavanol thioether under neutral conditions in preparation of **procyanidins**)
IT **20315-25-7P**, **Procyanidin** B-1 **29106-49-8P**, **Procyanidin** B-2 **29106-51-2P**, **Procyanidin** B-4 37064-31-6P, **Procyanidin** C-2 61541-02-4P, **Epicatechin-(4β. fwdarw.2)-phloroglucinol** 211810-99-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(**interflavanyl** bond formation of flavanol thioether under neutral conditions in preparation of **procyanidins**)
RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
(1) Barrett, A; J Org Chem 1989, V54, P2275 HCAPLUS
(2) Botha, J; J Chem Soc, Perkin Trans 1 1981, P1235 HCAPLUS
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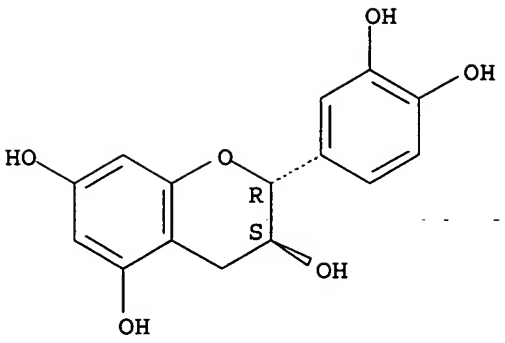
(18) van Zyl, P; Magn Res Chem 1993, V31, P1057 HCAPLUS
IT **154-23-4**, **Catechin**
RL: RCT (Reactant); RACT (Reactant or reagent)
(**interflavanyl** bond formation of flavanol thioether under neutral conditions in preparation of **procyanidins**)
RN 154-23-4 HCAPLUS
CN 2H-1-Benzopyran-3,5,7-triol, 2-(3,4-dihydroxyphenyl)-3,4-dihydro-, (2R,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



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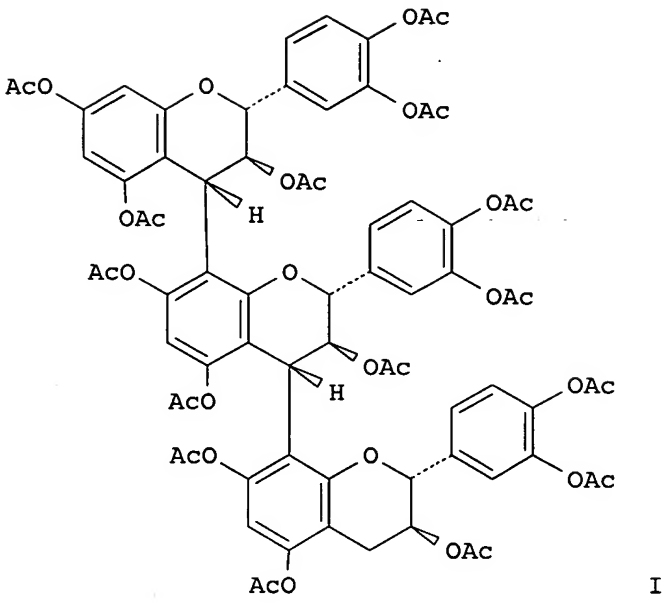
L90 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2005 ACS on STN
AN **2004:713707** HCAPLUS
DN **141:349945**
ED Entered STN: 01 Sep 2004
TI **Oligomeric catechins**: An enabling synthetic strategy by orthogonal activation and C(8) protection
AU Ohmori, Ken; Ushimaru, Naoko; Suzuki, Keisuke
CS Department of Chemistry, Tokyo Institute of Technology, Tokyo, 152-8551, Japan
SO Proceedings of the National Academy of Sciences of the United States of America (2004), 101(33), 12002-12007
CODEN: PNASA6; ISSN: 0027-8424
PB National Academy of Sciences
DT Journal
LA English
CC 26-4 (Biomolecules and Their Synthetic Analogs)
GI



I

AB Controlled formation of **oligomeric catechins**, e.g., I, has become possible by an orthogonal synthetic strategy. Bromo-capping of the C(8) position of the flavan skeleton enabled the equimolar coupling of electrophilic and nucleophilic **catechin** derivs., enabling an efficient synthetic strategy to complex **catechin oligomers.**

ST **catechin oligomeric** prepn orthogonal activation bromo capping; stereoselective substitution flavan skeleton

IT Stereoselective synthesis
(of **oligomeric catechins** via orthogonal activation and C(8) protection)

IT Flavanols
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(**oligomeric**; preparation of **oligomeric catechins** via orthogonal activation and C(8) protection)

IT **Procyanidins**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of **oligomeric catechins** via orthogonal activation and C(8) protection)

IT Coupling reaction
(stereoselective; between **catechin** monomers in preparation of **oligomeric catechins** via orthogonal activation and C(8) protection)

IT 89385-59-1P 777063-21-5P
RL: BYP (Byproduct); PREP (Preparation)
(preparation of **oligomeric catechins** via orthogonal activation and C(8) protection)

IT 12135-22-7, Palladium dihydroxide
RL: CAT (Catalyst use); USES (Uses)
(preparation of **oligomeric catechins** via orthogonal activation and C(8) protection)

IT 777063-23-7P
RL: PNU (Preparation, unclassified); PREP (Preparation)
(preparation of **oligomeric catechins** via orthogonal activation and C(8) protection)

IT 108-24-7, Acetic anhydride 108-98-5, Thiophenol, reactions 85443-49-8

478241-14-4 478241-31-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of **oligomeric catechins** via orthogonal activation and C(8) protection)

IT 777063-24-8P 777063-25-9P 777063-27-1P 777063-28-2P 777063-29-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of **oligomeric catechins** via orthogonal activation and C(8) protection)

IT 109-63-7, Boron trifluoride etherate 516-12-1, N-Iodosuccinimide **14104-20-2**
RL: RGT (Reagent); RACT (Reactant or reagent)
(preparation of **oligomeric catechins** via orthogonal activation and C(8) protection)

IT 16198-01-9P 21179-22-6P 78392-24-2P 777063-19-1P 777063-20-4P 777063-22-6P 777063-26-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of **oligomeric catechins** via orthogonal activation and C(8) protection)

RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

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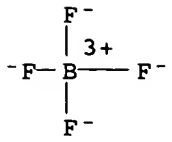
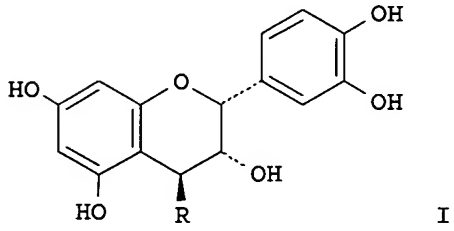
IT **14104-20-2**
RL: RGT (Reagent); RACT (Reactant or reagent)
(preparation of **oligomeric catechins** via orthogonal activation and C(8) protection)

RN 14104-20-2 HCAPLUS
CN Borate(1-), tetrafluoro-, silver(1+) (8CI, 9CI) (CA INDEX NAME)



● Ag(I) +

L90 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2005 ACS on STN
AN **1998:417444** HCAPLUS
DN **129:189143**
ED Entered STN: 09 Jul 1998
TI **Oligomeric** flavanoids. Part 27. **Interflavanyl** bond formation in **procyanidins** under neutral conditions
AU Steynberg, Petrus J.; Nel, Reinier J. J.; Van Rensburg, Hendrik; Bezuidenhoudt, Barend C. B.; Ferreira, Daneel
CS Dep. Chem., Univ. Orange Free State, Bloemfontein, 9300, S. Afr.
SO Tetrahedron (**1998**), 54(28), 8153-8158
CODEN: TETRAB; ISSN: 0040-4020
PB Elsevier Science Ltd.
DT Journal
LA English
CC 26-4 (Biomolecules and Their Synthetic Analogs)
OS CASREACT 129:189143
GI



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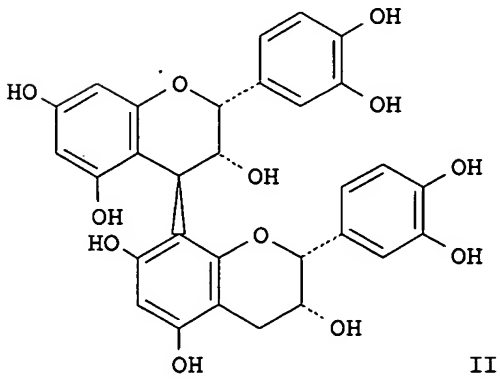

II

AB Dimethyl(methylthio)sulfonium tetrafluoroborate (DMTSF) and **silver tetrafluoroborate** (**AgBF4**) activate the C4-S bond in the 4-thioethers of flavan-3-ols, e.g. I (R = SCH2Ph), toward carbon

nucleophiles, e.g. I [R = H (**epicatechin**)], to permit formation of the **interflavanyl** bond in **procyanidins**, e.g. II (**procyanidin** B-2), under neutral conditions.

ST **procyanidin** prepn; flavanoid **oligomeric** prepn; flavanol thioether **interflavanyl** bond formation; tetrafluoroborate silver dimethylmethylthiosulfonium activation flavanol thioether

IT Bond formation
(C-C; **interflavanyl** bond formation of flavanol thioether under neutral conditions in preparation of **procyanidins**)

IT Flavonoids
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(**interflavanyl** bond formation of flavanol thioether under neutral conditions in preparation of **procyanidins**)

IT **Procyanidins**
RL: SPN (Synthetic preparation); PREP (Preparation)
(**interflavanyl** bond formation of flavanol thioether under neutral conditions in preparation of **procyanidins**)

IT 79813-67-5P
RL: BYP (Byproduct); PREP (Preparation)
(**interflavanyl** bond formation of flavanol thioether under neutral conditions in preparation of **procyanidins**)

IT 100-53-8, Phenylmethanethiol 108-73-6, Phloroglucinol **154-23-4**, **Catechin** 480-18-2, (2R,3R)-Dihydroquercetin **490-46-0**, **Epicatechin** 5799-67-7, Dimethyl(methylthio)sulfonium tetrafluoroborate **14104-20-2**, **Silver tetrafluoroborate**
RL: RCT (Reactant); RACT (Reactant or reagent)
(**interflavanyl** bond formation of flavanol thioether under neutral conditions in preparation of **procyanidins**)

IT **23567-23-9P**, **Procyanidin** B-3 **37064-35-0P**, 4β-(Benzylsulfanyl)**epicatechin** 37064-38-3P, 4β-(Benzylsulfanyl)**catechin**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(**interflavanyl** bond formation of flavanol thioether under neutral conditions in preparation of **procyanidins**)

IT **20315-25-7P**, **Procyanidin** B-1 **29106-49-8P**, **Procyanidin** B-2 **29106-51-2P**, **Procyanidin** B-4 37064-31-6P, **Procyanidin** C-2 61541-02-4P, **Epicatechin**-(4β. fwdarw.2)-phloroglucinol 211810-99-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(**interflavanyl** bond formation of flavanol thioether under neutral conditions in preparation of **procyanidins**)

RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

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(2) Botha, J; J Chem Soc, Perkin Trans 1 1981, P1235 HCAPLUS
(3) Delcour, J; J Chem Soc, Perkin Trans 1 1983, P1711 HCAPLUS
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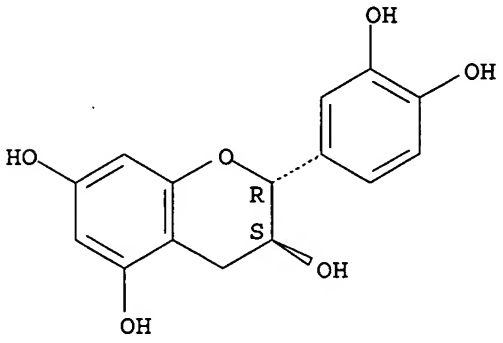
(18) van Zyl, P; Magn Res Chem 1993, V31, P1057 HCAPLUS

IT **154-23-4, Catechin 490-46-0, Epicatechin 14104-20-2, Silver tetrafluoroborate**
RL: RCT (Reactant); RACT (Reactant or reagent)
(**interflavanyl** bond formation of flavanol thioether under neutral conditions in preparation of **procyanidins**)

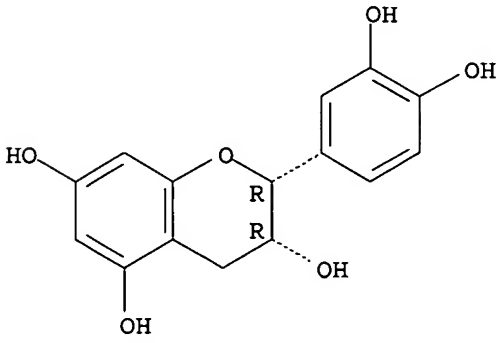
RN 154-23-4 HCAPLUS
CN 2H-1-Benzopyran-3,5,7-triol, 2-(3,4-dihydroxyphenyl)-3,4-dihydro-, (2R,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

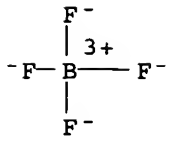


RN 490-46-0 HCAPLUS
CN 2H-1-Benzopyran-3,5,7-triol, 2-(3,4-dihydroxyphenyl)-3,4-dihydro-, (2R,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 14104-20-2 HCAPLUS
CN Borate(1-), tetrafluoro-, silver(1+) (8CI, 9CI) (CA INDEX NAME)



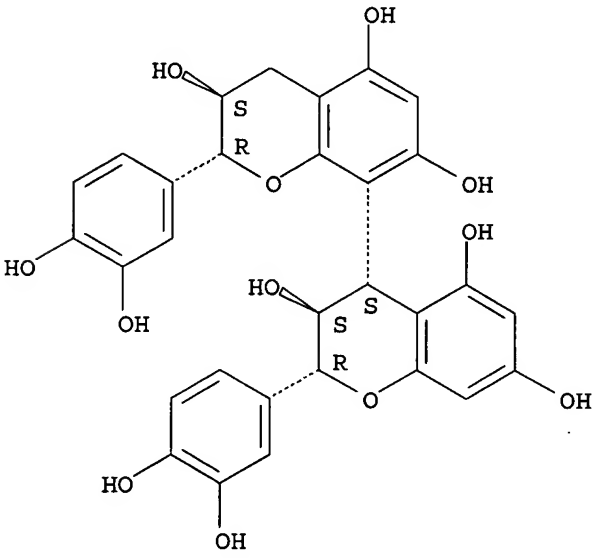
● Ag(I) +

IT **23567-23-9P, Procyanidin** B-3 **37064-35-0P,**
4β -(Benzylsulfanyl)epicatechin
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(**interflavanyl** bond formation of flavanol thioether under neutral conditions in preparation of **procyanidins**)

RN 23567-23-9 HCAPLUS

CN [4,8'-Bi-2H-1-benzopyran]-3,3',5,5',7,7'-hexol, 2,2'-bis(3,4-dihydroxyphenyl)-3,3',4,4'-tetrahydro-, (2R,2'R,3S,3'S,4S)- (9CI) (CA INDEX NAME)

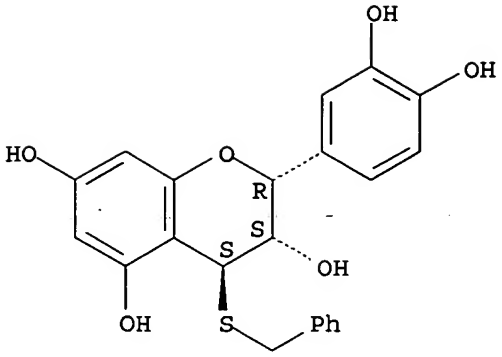
Absolute stereochemistry. Rotation (-).



RN 37064-35-0 HCAPLUS

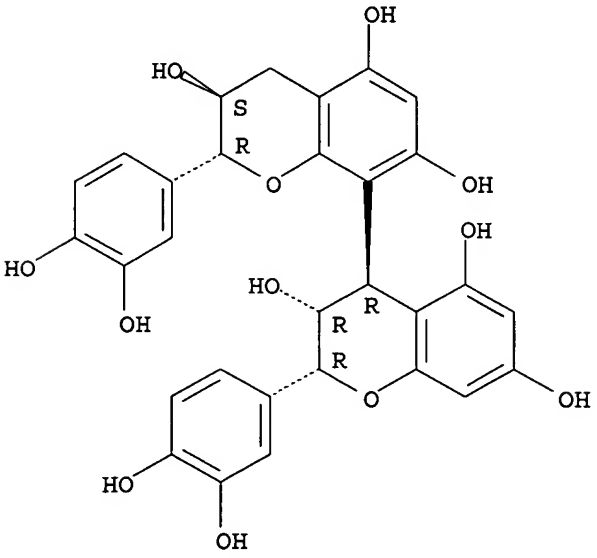
CN 2H-1-Benzopyran-3,5,7-triol, 2-(3,4-dihydroxyphenyl)-3,4-dihydro-4-[(phenylmethyl)thio]-, (2R,3S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



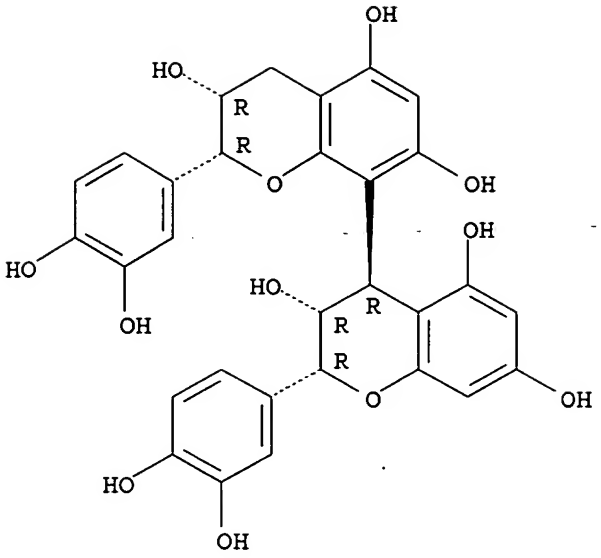
IT **20315-25-7P, Procyanidin** B-1 **29106-49-8P, Procyanidin** B-2 **29106-51-2P, Procyanidin** B-4
RL: SPN (Synthetic preparation); PREP (Preparation)
(**interflavanyl** bond formation of flavanol thioether under neutral conditions in preparation of **procyanidins**)
RN 20315-25-7 HCAPLUS
CN [4,8'-Bi-2H-1-benzopyran]-3,3',5,5',7,7'-hexol, 2,2'-bis(3,4-dihydroxyphenyl)-3,3',4,4'-tetrahydro-, (2R,2'R,3R,3'S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



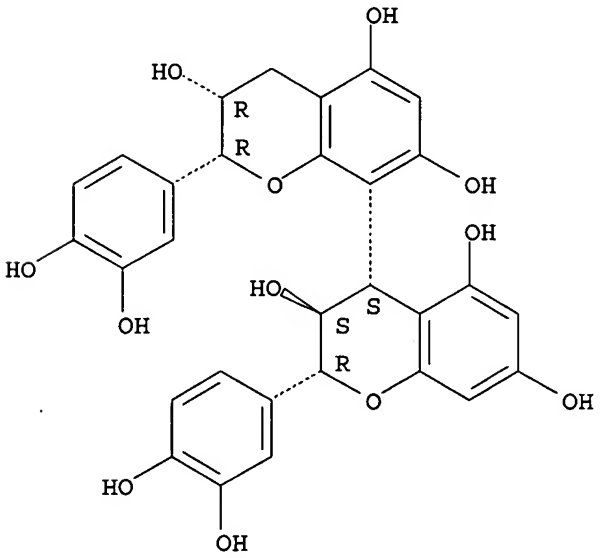
RN 29106-49-8 HCAPLUS
CN [4,8'-Bi-2H-1-benzopyran]-3,3',5,5',7,7'-hexol, 2,2'-bis(3,4-dihydroxyphenyl)-3,3',4,4'-tetrahydro-, (2R,2'R,3R,3'R,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 29106-51-2 HCAPLUS
CN [4,8'-Bi-2H-1-benzopyran]-3,3',5,5',7,7'-hexol, 2,2'-bis(3,4-dihydroxyphenyl)-3,3',4,4'-tetrahydro-, (2R,2'R,3S,3'R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



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